

Connecting via Winsock to STN

Welcome to STN International! Enter x:X

LOGINID:SSPTAMPC1626

PASSWORD:

\* \* \* \* \* RECONNECTED TO STN INTERNATIONAL \* \* \* \* \*  
SESSION RESUMED IN FILE 'CAPLUS' AT 07:17:51 ON 19 JAN 2010  
FILE 'CAPLUS' ENTERED AT 07:17:51 ON 19 JAN 2010  
COPYRIGHT (C) 2010 AMERICAN CHEMICAL SOCIETY (ACS)

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	117.20	417.69

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-17.00	-17.00

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	117.20	417.69

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-17.00	-17.00

FILE 'REGISTRY' ENTERED AT 07:17:59 ON 19 JAN 2010  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
COPYRIGHT (C) 2010 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file  
provided by InfoChem.

STRUCTURE FILE UPDATES: 18 JAN 2010 HIGHEST RN 1202470-25-4  
DICTIONARY FILE UPDATES: 18 JAN 2010 HIGHEST RN 1202470-25-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 26, 2009.

Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and  
predicted properties as well as tags indicating availability of  
experimental property data in the original document. For information  
on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=> d his

(FILE 'HOME' ENTERED AT 06:35:56 ON 19 JAN 2010)

FILE 'REGISTRY' ENTERED AT 06:36:21 ON 19 JAN 2010  
L1 2502089 S 16.136.1/RID  
L2 STRUCTURE UPLOADED  
L3 0 S L2 SSS SAM SUB=L1  
L4 STRUCTURE UPLOADED  
L5 50 S L4 SSS SAM SUB=L1

FILE 'CAPLUS' ENTERED AT 06:38:41 ON 19 JAN 2010  
E US20070185100/PN  
L6 1 S E3  
SEL RN

FILE 'REGISTRY' ENTERED AT 06:39:03 ON 19 JAN 2010  
L7 146 S E1-E146  
L8 13 S L7 AND 16.136.1/RID  
L9 STRUCTURE UPLOADED  
L10 39 S L9 SSS SAM SUB=L1  
L11 53735 S L9 SSS FULL SUB=L1  
L12 STRUCTURE UPLOADED  
L13 3 S L12 SSS SAM SUB=L11  
L14 478 S L12 SSS FULL SUB=L11  
L15 472 S L14 AND CAPLUS/LC  
L16 6 S L14 NOT L15

FILE 'CAPLUS' ENTERED AT 06:55:13 ON 19 JAN 2010  
L17 20 S L15

FILE 'REGISTRY' ENTERED AT 07:17:59 ON 19 JAN 2010

=>  
Uploading C:\Program Files\STNEXP\Queries\10588754\_01192010\_7.str

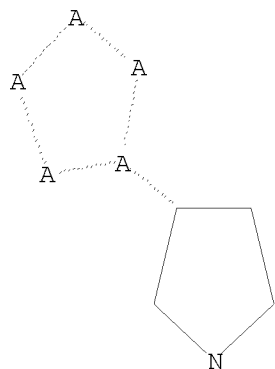


ring nodes :  
1 2 3 4 5 6 7 8 9 10  
chain bonds :  
3-7  
ring bonds :  
1-2 1-5 2-3 3-4 4-5 6-10 6-7 7-8 8-9 9-10  
exact/norm bonds :  
1-2 1-5 2-3 3-4 3-7 4-5 6-10 6-7 7-8 8-9 9-10

Match level :  
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom

L18 STRUCTURE UPLOADED

=> d  
L18 HAS NO ANSWERS  
L18 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l18 sss sub=l1 sam  
SAMPLE SUBSET SEARCH INITIATED 07:18:22 FILE 'REGISTRY'  
SAMPLE SUBSET SCREEN SEARCH COMPLETED - 58114 TO ITERATE

3.4% PROCESSED 2000 ITERATIONS 39 ANSWERS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

PROJECTIONS (WITHIN SPECIFIED SUBSET): ONLINE \*\*COMPLETE\*\*  
PROJECTED ITERATIONS (WITHIN SPECIFIED SUBSET): 1147890 TO 1176670  
PROJECTED ANSWERS (WITHIN SPECIFIED SUBSET): 20645 TO 24683

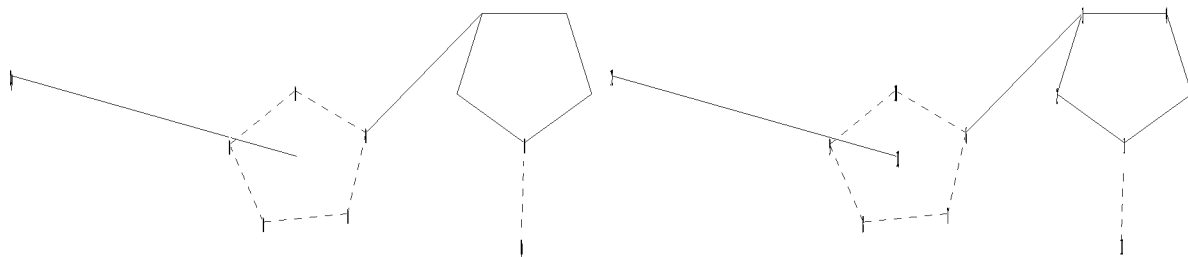
L19 39 SEA SUB=L1 SSS SAM L18

=> s l18 sss sub=l1 full  
FULL SUBSET SEARCH INITIATED 07:18:27 FILE 'REGISTRY'  
FULL SUBSET SCREEN SEARCH COMPLETED - 1167749 TO ITERATE

94.4% PROCESSED 1102148 ITERATIONS 75517 ANSWERS  
100.0% PROCESSED 1167749 ITERATIONS 75785 ANSWERS  
SEARCH TIME: 00.00.23

L20 75785 SEA SUB=L1 SSS FUL L18

=>  
Uploading C:\Program Files\STNEXP\Queries\10588754\_01192010\_8.str



chain nodes :  
11 12

```

ring nodes :
1  2  3  4  5  6  7  8  9  10
chain bonds :
1-11  3-6
ring bonds :
1-2  1-5  2-3  3-4  4-5  6-10  6-7  7-8  8-9  9-10
exact/norm bonds :
1-2  1-5  1-11  2-3  3-4  3-6  4-5  6-10  6-7  7-8  8-9  9-10

```

```

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:Atom 12:Atom 13:CLASS

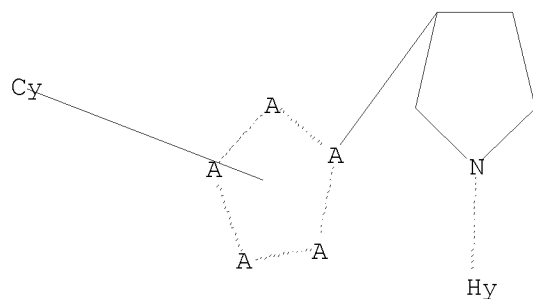
```

L21        STRUCTURE UPLOADED

```

=> d
L21 HAS NO ANSWERS
L21                STR

```



Structure attributes must be viewed using STN Express query preparation.

```

=> s l21 sss sub=l20 sam
SAMPLE SUBSET SEARCH INITIATED 07:19:27 FILE 'REGISTRY'
SAMPLE SUBSET SCREEN SEARCH COMPLETED -        3744 TO ITERATE

```

```

53.4% PROCESSED        2000 ITERATIONS                    19 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

```

```

PROJECTIONS (WITHIN SPECIFIED SUBSET):                    ONLINE    **COMPLETE**
PROJECTED ITERATIONS (WITHIN SPECIFIED SUBSET):           71210 TO        78550
PROJECTED ANSWERS (WITHIN SPECIFIED SUBSET):                354 TO        1068

```

L22                19 SEA SUB=L20 SSS SAM L21

```

=> s l21 sss sub=l20 full
FULL SUBSET SEARCH INITIATED 07:19:32 FILE 'REGISTRY'
FULL SUBSET SCREEN SEARCH COMPLETED -        75611 TO ITERATE

```

```

100.0% PROCESSED        75611 ITERATIONS                    318 ANSWERS
SEARCH TIME: 00.00.06

```

L23                318 SEA SUB=L20 SSS FUL L21

```

=> file caplus

```

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	238.02	655.71
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	0.00	-17.00

FILE 'CAPLUS' ENTERED AT 07:19:44 ON 19 JAN 2010  
 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
 PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
 COPYRIGHT (C) 2010 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 19 Jan 2010 VOL 152 ISS 4  
 FILE LAST UPDATED: 18 Jan 2010 (20100118/ED)  
 REVISED CLASS FIELDS (/NCL) LAST RELOADED: Oct 2009  
 USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2009

CAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

```
=> s 123/USES
      16 L23
      7926996 USES/RL
L24      9 L23/USES
          (L23 (L) USES/RL)
```

```
=> d 124 ibib gi abs hitstr 1-9
```

```
L24 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2008:1533190 CAPLUS
DOCUMENT NUMBER: 150:77691
TITLE: Preparation of triazole derivatives for treating
        Alzheimer's disease and related conditions
INVENTOR(S): Fischer, Christian; Munoz, Ben; Zultanski, Susan;
              Methot, Joey; Zhou, Hua; Brown, W. Colby
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 130pp.
        CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
```

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008156580	A1	20081224	WO 2008-US7205	20080609
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRIORITY APPLN. INFO.:			US 2007-934515P	P 20070613
OTHER SOURCE(S):			CASREACT 150:77691; MARPAT 150:77691	
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

GI

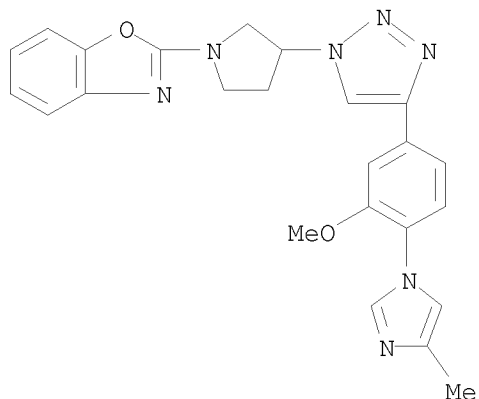
\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. I [W = imidazole, triazole or pyrazole; R11, R12 = H, alkyl, CF<sub>3</sub>; Y1, Y2 = N or CR<sub>2</sub> (provided that Y1 and Y2 do not both represent N); R2 = H, halo, CN, etc.; R3, R4 = H, alkyl, F, etc.; or CR<sub>3</sub>R<sub>4</sub> = C(O) or carbocycle of 3-6 atoms; m = 0-6; or (CR<sub>3</sub>R<sub>4</sub>)<sub>m</sub> = II, III or IV; X = H, R<sub>5</sub>, SR<sub>5</sub>, etc.; R<sub>5</sub> = alkyl, phenylalkyl, cycloalkyl, etc.] which selectively attenuate production of Aβ(1-42) and hence find use in treatment or prevention of diseases associated with deposition of Aβ in the brain, in particular Alzheimer's disease, were prepared Thus, reacting 1-(4-ethynyl-2-methoxyphenyl)-4-methyl-1H-imidazole with the corresponding azide afforded the triazole V which showed IC<sub>50</sub> of 616 nM when tested for inhibition of Aβ<sub>42</sub> production Pharmaceutical composition comprising the compound I is disclosed.

IT 1093976-66-9P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (drug candidate; preparation of triazole derivs. for treating Alzheimer's disease and related conditions)

RN 1093976-66-9 CAPLUS

CN Benzoxazole, 2-[3-[4-[3-methoxy-4-(4-methyl-1H-imidazol-1-yl)phenyl]-1H-1,2,3-triazol-1-yl]-1-pyrrolidinyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
(1 CITINGS)  
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2008:1424812 CAPLUS

DOCUMENT NUMBER: 149:570746

TITLE: Pharmaceutical compositions containing pyrazole  
compounds having CB1 receptor antagonistic effects

INVENTOR(S): Moritani, Yasunori; Imashiro, Norio; Sato, Atsushi

PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 133pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

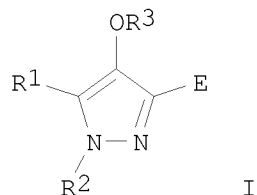
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

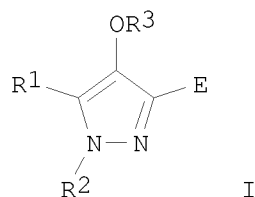
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	---	-----	-----	-----
JP 2008285481	A	20081127	JP 2008-108646	20080418
PRIORITY APPLN. INFO.:			JP 2007-111339	A 20070420
OTHER SOURCE(S):	MARPAT	149:570746		

GI



I

GI

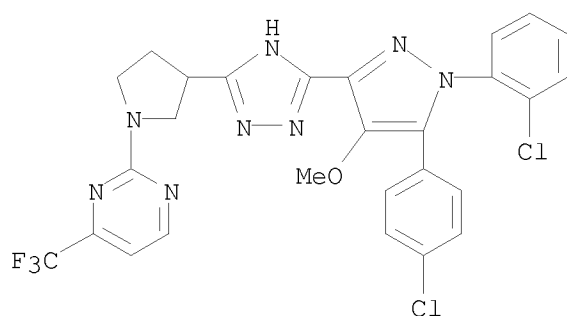


AB The invention provides a pharmaceutical composition containing a pyrazole compound represented by a general formula I (R<sup>1</sup>, R<sup>2</sup> = (un)substituted aryl, heteroaryl; R<sup>3</sup> = H, halogen, cyano, (un)substituted aminosulfonyl, (un)substituted unsatd. heteroring, etc.; R<sup>3</sup> and R<sup>1</sup> may join together with the adjacent O and a pyrazole ring to form a (un)substituted heterotricyclyl ring; E = substituted 5-membered heterocyclyl containing 3 heteroatoms selected from N or O atoms, etc.), or its pharmaceutically acceptable salt as an active component. The pyrazole compound shows cannabinoid receptor 1 (CB<sub>1</sub> receptor) antagonistic effect, and the composition is suitable for use for treatment and/or prevention of mental disorder, cognitive disorder, dementia, obesity, digestive tract disorder, hypertension, hepatic cirrhosis, substance dependency, etc. For example, 1-(2-chlorophenyl)-5-(4-chlorophenyl)-4-methoxy-3-[1-(1,1-dioxothiomorpholino)acetyl]-1H-pyrazole was prepared, and examined for its antagonistic effect on human CB<sub>1</sub> receptor in vitro (IC<sub>50</sub> 10-100 nM).

IT 935258-60-9P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (pharmaceutical compns. containing pyrazole compds. having CB<sub>1</sub> receptor antagonistic effects)

RN 935258-60-9 CAPLUS

CN Pyrimidine, 2-[3-[5-[1-(2-chlorophenyl)-5-(4-chlorophenyl)-4-methoxy-1H-pyrazol-3-yl]-1H-1,2,4-triazol-3-yl]-1-pyrrolidinyl]-4-(trifluoromethyl)- (CA INDEX NAME)



L24 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2007:463875 CAPLUS

DOCUMENT NUMBER: 146:462252

TITLE: Preparation of pyrazole compounds having CB<sub>1</sub> receptor antagonizing activity

INVENTOR(S): Moritani, Yasunori; Imashiro, Ritsuo; Sato, Atsushi

PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan

SOURCE: PCT Int. Appl., 151pp.  
 CODEN: PIXXD2



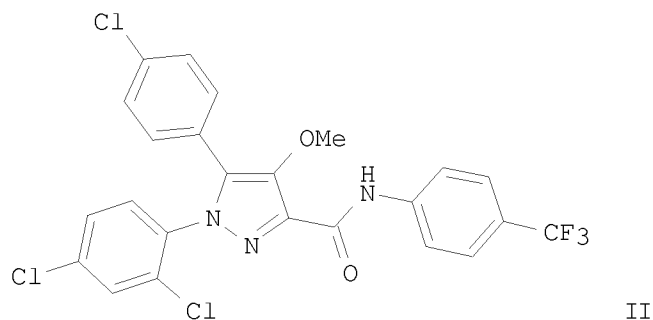
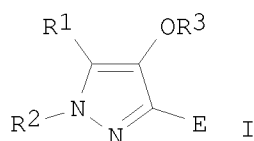
DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007046550	A1	20070426	WO 2006-JP321446	20061020
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
JP 2008024693	A	20080207	JP 2006-285608	20061020
EP 1951678	A1	20080806	EP 2006-822415	20061020
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
US 20090048256	A1	20090219	US 2008-83610	20080415
PRIORITY APPLN. INFO.:			JP 2005-306817	A 20051021
			US 2005-729205P	P 20051024
			JP 2006-169479	A 20060620
			US 2006-806075P	P 20060628
			WO 2006-JP321446	W 20061020

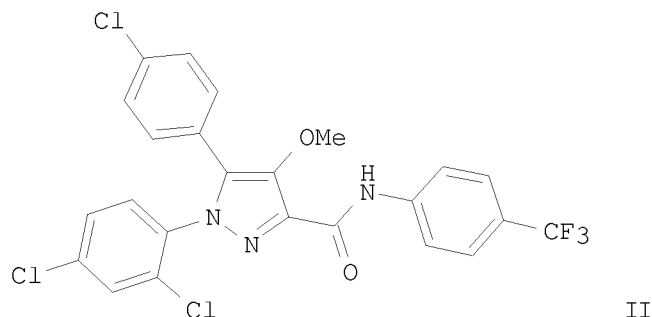
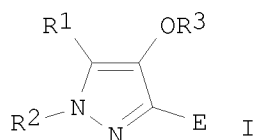
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 146:462252; MARPAT 146:462252

GI



GI



AB Title compds. I [R1 and R2 independently = (un)substituted aryl or heteroaryl; R3 = H, (un)substituted alkyl, aminosulfonyl, etc.; R3 and R1 may join together with the adjacent O and a pyrazole ring to form a (un)substituted heterotricyclyl ring; E = substituted 5-membered heterocyclyl containing 3 heteroatoms selected from N or O atoms, or -A-C(O)-Z-R4, wherein A = single bond, alkylene, NH, etc.; Z = single bond, O or alkylene; R4 = cycloalkyl, (un)substituted aryl, (un)saturated heterocyclyl, etc.], and their pharmaceutically acceptable salts having CB1 receptor antagonizing activity, are prepared and disclosed. Thus, e.g., II was prepared via amidation of 3-carboxy-1-(2,4-dichlorophenyl)-5-(4-chlorophenyl)-4-methoxy-1H-pyrazole (preparation given) with 4-(trifluoromethyl)benzenamine. Select compds. were tested in CB1 receptor binding assay, e.g., II exhibited IC50 value ranging from 10 to 100 nM.

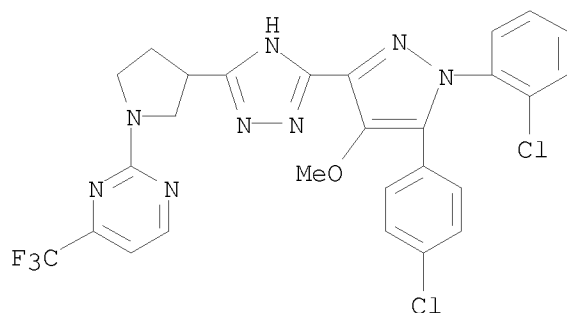
IT 935258-60-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazole compds. having CB1 receptor antagonizing activity)

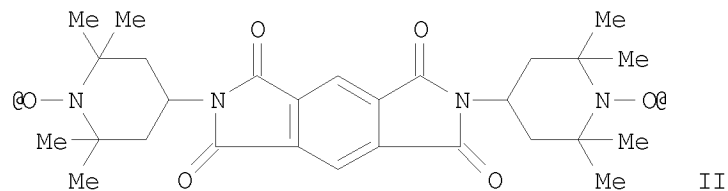
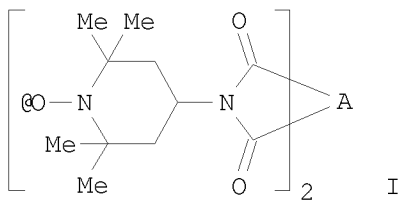
RN 935258-60-9 CAPLUS

CN Pyrimidine, 2-[3-[5-[1-(2-chlorophenyl)-5-(4-chlorophenyl)-4-methoxy-1H-pyrazol-3-yl]-1H-1,2,4-triazol-3-yl]-1-pyrrolidinyl]-4-(trifluoromethyl)- (CA INDEX NAME)

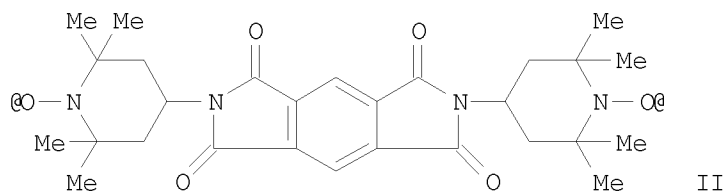
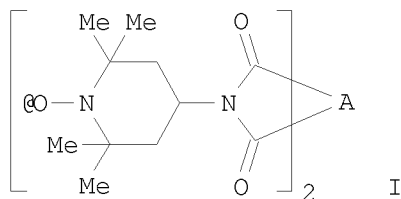


OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)  
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
JP 2004300031	A	20041028	JP 2003-91622	20030328
PRIORITY APPLN. INFO.:			JP 2003-91622	20030328
OTHER SOURCE(S):	MARPAT	141:366621		
GI				



GI



AB The inhibitors are I (A = aliphatic, aromatic, or alicyclic tetraivalent carboxylic acid residue). The comps. contain 100 parts (meth)acrylic acid esters and 0.0001-5 parts I. Thus, pyromellitic dianhydride was amidated with 2,2,6,6,-tetramethyl-4-aminopiperidine, cyclized, and oxidized with m-chloroperbenzoic acid to give II. 2-Ethylhexyl methacrylate was polymerized in the presence of 300 ppm II by heating at 120° for 524 h, vs. 50 h in the presence of p-methoxyphenol.

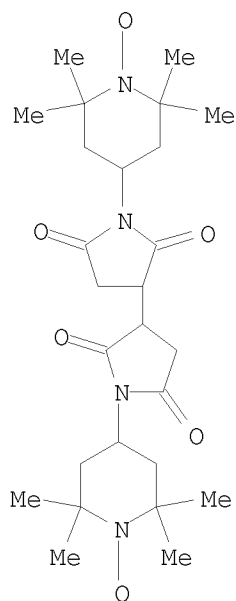
IT 780774-14-3

RL: CAT (Catalyst use); USES (Uses)

(bis(N-oxyltetramethylpiperidylimide)polymerization inhibitors for (meth)acrylic acid esters)

RN 780774-14-3 CAPLUS

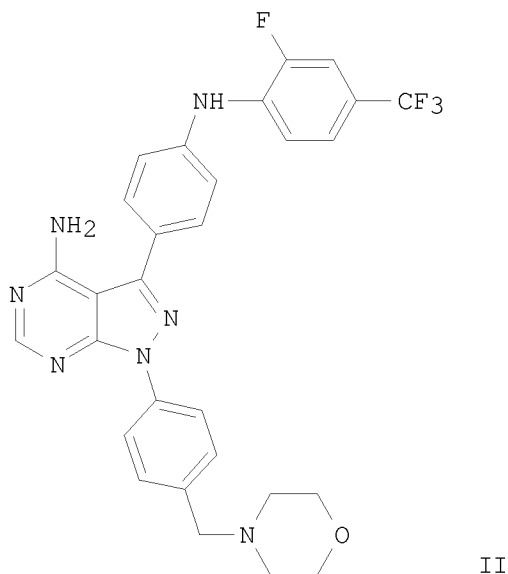
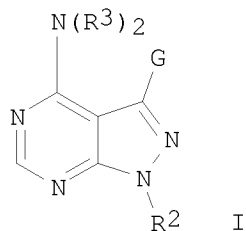
CN 1-Piperidinyloxy, 4,4'-(2,2',5,5'-tetraoxo[3,3'-bipyrrolidine]-1,1'-diyl)bis[2,2,6,6-tetramethyl- (9CI) (CA INDEX NAME)



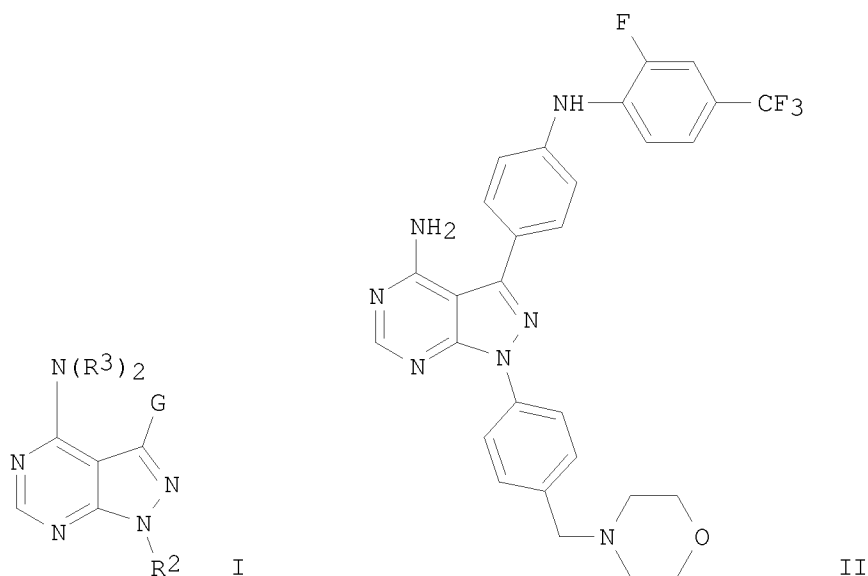
DOCUMENT NUMBER: 137:310930  
 TITLE: Preparation of  
 3-(azahetero)aryl-1H-pyrazolo[3,4-d]pyrimidin-3-amines  
 as protein kinase inhibitors with antiangiogenic  
 properties  
 INVENTOR(S): Hirst, Gavin C.; Rafferty, Paul; Ritter, Kurt;  
 Calderwood, David; Wishart, Neil; Arnold, Lee D.;  
 Friedman, Michael M.  
 PATENT ASSIGNEE(S): Abbott Laboratories, USA  
 SOURCE: U.S. Pat. Appl. Publ., 426 pp., Cont.-in-part of U.S.  
 Ser. No. 663,780.  
 CODEN: USXXCO  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20020156081	A1	20021024	US 2001-815310	20010322
US 6921763	B2	20050726		
US 6660744	B1	20031209	US 2000-663780	20000915
CA 2440724	A1	20021017	CA 2002-2440724	20020322
WO 2002080926	A1	20021017	WO 2002-US9104	20020322
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002316030	A1	20021021	AU 2002-316030	20020322
EP 1385524	A1	20040204	EP 2002-746301	20020322
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
CN 1520298	A	20040811	CN 2002-810250	20020322
JP 2004531513	T	20041014	JP 2002-578965	20020322
BR 2002005889	A	20041109	BR 2002-5889	20020322
ZA 2003006886	A	20040716	ZA 2003-6886	20030903
NO 2003004176	A	20031121	NO 2003-4176	20030919
MX 2003008561	A	20040630	MX 2003-8561	20030922
IN 2003MN00935	A	20050429	IN 2003-MN935	20031003
BG 108269	A	20041230	BG 2003-108269	20031014
PRIORITY APPLN. INFO.:			US 1999-154620P	P 19990917
			US 2000-663780	A2 20000915
			US 2001-815310	A 20010322
			WO 2002-US9104	W 20020322

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT  
 OTHER SOURCE(S): MARPAT 137:310930  
 GI



GI



AB Title compds. I [wherein G = (un)substituted 5-6 membered (azahetero)aryl; R<sub>2</sub> = H or (un)substituted trityl, cycloalkenyl, azaheteroaryl, or C<sub>6</sub>H<sub>4</sub>-4-CH<sub>2</sub>E; E = (un)substituted alkyl-OR, alkyl-CO<sub>2</sub>R, alkylheteroaryl, alkylheterocycloalkyl, or alkyl-NR<sub>2</sub>; R = independently H or (un)substituted (cyclo)alkyl, or aryl(alkyl); R<sub>3</sub> = independently H, OH, or (un)substituted alkyl, alkyl-CO, (hetero)aryl-CO, or alkoxy; or racemic diastereomeric mixts., optical isomers, pharmaceutically acceptable salts, prodrugs, and/or biol. active metabolites thereof] were prepared For example, 3-iodo-1H-pyrazolo[3,4-d]pyrimidin-4-amine was coupled with 4-fluorobenzaldehyde in the presence of NaH in DMF to give

4-(4-amino-3-iodo-1H-pyrazolo[3,4-d]pyrimidin-1-yl)benzaldehyde.

Treatment of the 3-iodopyrazolopyrimidine with N-[2-methoxy-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]-2-fluoro-4-(trifluoromethyl)benzamide, Pd(PPh<sub>3</sub>)<sub>4</sub>, and Na<sub>2</sub>CO<sub>3</sub> in H<sub>2</sub>O afforded the N-[4-(pyrazolopyrimidin-3-yl)phenyl]benzamide. Addition of morpholine to the benzaldehyde in the presence of Na(AcO)<sub>3</sub>BH in dichloroethane produced II. All exemplified compds. significantly inhibited either FGFR, PDGFR, KDR, Tie-2, Lck, Fyn, Blk, Lyn, or Src at concentration of ≤ 50 μM. Certain compds. of the invention also significantly inhibited cdc2 or cellular VEGF-induced KDR tyrosine kinase phosphorylation at concns. of ≤ 50 μM. Thus, I are useful for the treatment of a wide variety of disease states ameliorated by the inhibition of protein tyrosine kinase activity essential for angiogenic processes (no data). [This abstract record is one of 2 records for this document necessitated by the large number of index entries required to fully index the document and publication system constraints.]

IT 330789-15-6P, 1-[1-(1-Methyl-4-piperidyl)tetrahydro-1H-pyrrol-3-yl]-3-(4-phenoxyphenyl)-1H-pyrazolo[3,4-d]pyrimidin-4-amine trimaleate  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(protein kinase inhibitor; preparation of [(hetero)aryl]pyrazolo[3,4-d]pyrimidinamines as protein kinase inhibitors with antiangiogenic properties)

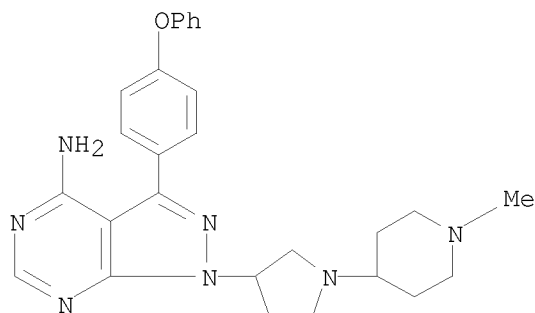
RN 330789-15-6 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine,  
1-[1-(1-methyl-4-piperidinyl)-3-pyrrolidinyl]-3-(4-phenoxyphenyl)-,  
(2Z)-2-butenedioate (1:3) (CA INDEX NAME)

CM 1

CRN 330789-14-5

CMF C27 H31 N7 O

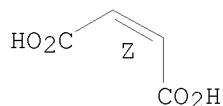


CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD  
(11 CITINGS)  
REFERENCE COUNT: 115 THERE ARE 115 CITED REFERENCES AVAILABLE FOR  
THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
FORMAT

L24 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2002:793426 CAPLUS

DOCUMENT NUMBER: 137:310925

TITLE: Preparation of  
3-(azahetero)aryl-1H-pyrazolo[3,4-d]pyrimidin-3-amines  
as protein kinase inhibitors with antiangiogenic  
properties

INVENTOR(S): Hirst, Gavin C.; Rafferty, Paul; Ritter, Kurt;  
Calderwood, David; Wishart, Neil; Arnold, Lee D.;  
Friedman, Michael M.

PATENT ASSIGNEE(S): Abbott G.m.b.H. & Co. K.-G., Germany

SOURCE: PCT Int. Appl., 867 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

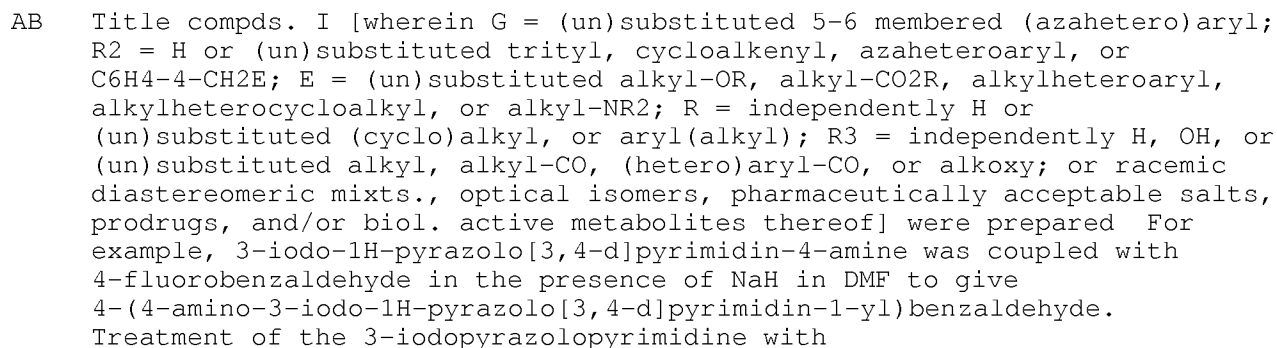
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002080926	A1	20021017	WO 2002-US9104	20020322
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,				
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,				
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,				
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,				
UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,				
CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,				
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20020156081	A1	20021024	US 2001-815310	20010322
US 6921763	B2	20050726		
CA 2440724	A1	20021017	CA 2002-2440724	20020322
AU 2002316030	A1	20021021	AU 2002-316030	20020322
EP 1385524	A1	20040204	EP 2002-746301	20020322
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004531513	T	20041014	JP 2002-578965	20020322
BR 2002005889	A	20041109	BR 2002-5889	20020322
NO 2003004176	A	20031121	NO 2003-4176	20030919
MX 2003008561	A	20040630	MX 2003-8561	20030922
IN 2003MN00935	A	20050429	IN 2003-MN935	20031003
PRIORITY APPLN. INFO.:			US 2001-815310	A 20010322
			US 1999-154620P	P 19990917
			US 2000-663780	A2 20000915
			WO 2002-US9104	W 20020322

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 137:310925

GI





N-[2-methoxy-4-(4,4,5,5,-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]-2-fluoro-4-(trifluoromethyl)benzamide, Pd(PPh<sub>3</sub>)<sub>4</sub>, and Na<sub>2</sub>CO<sub>3</sub> in H<sub>2</sub>O afforded the N-[4-(pyrazolopyrimidin-3-yl)phenyl]benzamide. Addition of morpholine to the benzaldehyde in the presence of Na(AcO)<sub>3</sub>BH in dichloroethane produced II. All exemplified compds. significantly inhibited either FGFR, PDGFR, KDR, Tie-2, Lck, Fyn, Blk, Lyn, or Src at concentration of ≤ 50 μM. Certain compds. of the invention also significantly inhibited cdc2 or cellular VEGF-induced KDR tyrosine kinase phosphorylation at concns. of ≤ 50 μM. Thus, I are useful for the treatment of a wide variety of disease states ameliorated by the inhibition of protein tyrosine kinase activity essential for angiogenic processes (no data).

IT 330789-15-6P, 1-[1-(1-Methyl-4-piperidyl)tetrahydro-1H-pyrrol-3-yl]-3-(4-phenoxyphenyl)-1H-pyrazolo[3,4-d]pyrimidin-4-amine trimaleate  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(protein kinase inhibitor; preparation of [(hetero)aryl]pyrazolo[3,4-d]pyrimidinamines as protein kinase inhibitors with antiangiogenic properties)

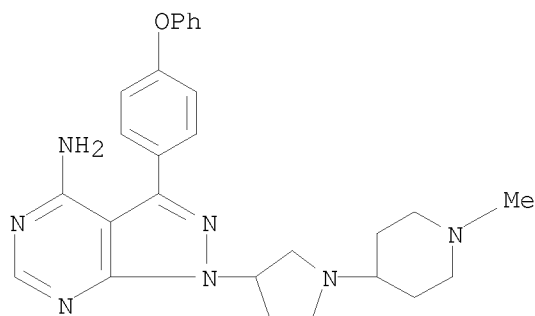
RN 330789-15-6 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine,  
 1-[1-(1-methyl-4-piperidinyl)-3-pyrrolidinyl]-3-(4-phenoxyphenyl)-,  
 (2Z)-2-butenedioate (1:3) (CA INDEX NAME)

CM 1

CRN 330789-14-5

CMF C27 H31 N7 O

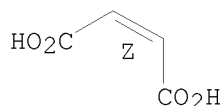


CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.

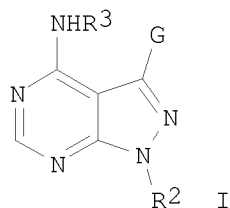


OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)  
 REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

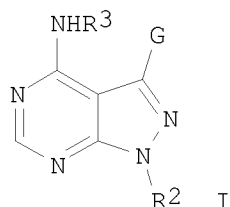
L24 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2001:208278 CAPLUS  
DOCUMENT NUMBER: 134:252353  
TITLE: Preparation of pyrazolopyrimidines as protein kinase inhibitors  
INVENTOR(S): Hirst, Gavin C.; Calderwood, David; Wishart, Neil; Rafferty, Paul; Ritter, Kurt; Arnold, Lee D.; Friedman, Michael M.  
PATENT ASSIGNEE(S): BASF Aktiengesellschaft, Germany  
SOURCE: PCT Int. Appl., 527 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 3  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001019829	A2	20010322	WO 2000-US25468	20000915
WO 2001019829	A3	20010927		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2385747	A1	20010322	CA 2000-2385747	20000915
AU 2000074950	A	20010417	AU 2000-74950	20000915
AU 780052	B2	20050224		
EP 1212327	A2	20020612	EP 2000-963554	20000915
EP 1212327	B1	20030820		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL			
BR 2000014073	A	20020716	BR 2000-14073	20000915
JP 2003509428	T	20030311	JP 2001-523406	20000915
AT 247657	T	20030915	AT 2000-963554	20000915
PT 1212327	E	20040130	PT 2000-963554	20000915
ES 2207552	T3	20040601	ES 2000-963554	20000915
NZ 517758	A	20040625	NZ 2000-517758	20000915
TW 230709	B	20050411	TW 2000-89119064	20000916
IN 2002MN00310	A	20080815	IN 2002-MN310	20020313
ZA 2002002123	A	20030617	ZA 2002-2123	20020314
MX 2002002898	A	20031014	MX 2002-2898	20020314
NO 2002001328	A	20020521	NO 2002-1328	20020318
BG 106586	A	20030131	BG 2002-106586	20020405
HK 1050355	A1	20041015	HK 2002-108955	20021210
PRIORITY APPLN. INFO.:			US 1999-154620P	P 19990917
			WO 2000-US25468	W 20000915
OTHER SOURCE(S):	MARPAT 134:252353			
GI				



GI

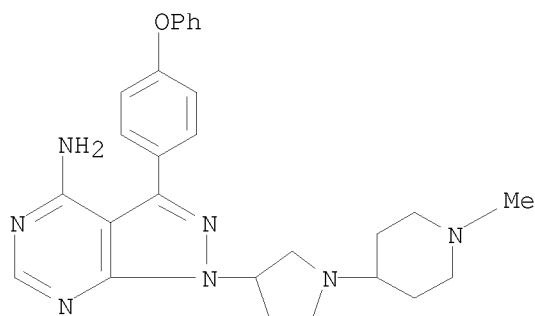


AB The title compds. [I; G = substituted Ph; R2 = BE; B = (un)substituted cycloalkyl, azacycloalkyl, etc.; E = (un)substituted azacycloalkyl, azacycloalkylcarbonyl, etc.; R3 = H, OH, alkyl, alkoxy] which inhibit one or more protein kinase (such as FGFR, PDGFR, KDR, Tie-2, Lck, Fyn, Blk, Lyn, Src, and cdc2) activity, were prepared and formulated. E.g., a multi-step synthesis of I [G = 4-phenoxyphenyl; R2 = 1-benzyl-4-piperidinyl; R3 = H] was described. Biol. data for compds. I were given.

IT 330789-14-5P 330789-15-6P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of pyrazolopyrimidines as protein kinase inhibitors)

RN 330789-14-5 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine,  
 1-[1-(1-methyl-4-piperidinyl)-3-pyrrolidinyl]-3-(4-phenoxyphenyl)- (CA INDEX NAME)



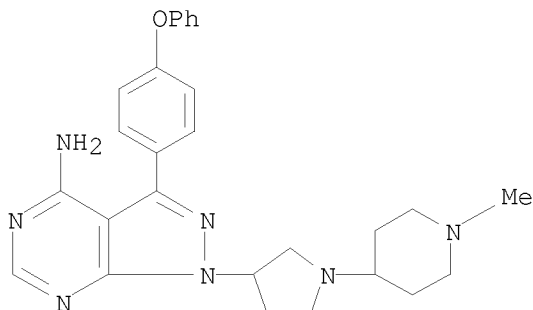
RN 330789-15-6 CAPLUS

CN 1H-Pyrazolo[3,4-d]pyrimidin-4-amine,  
 1-[1-(1-methyl-4-piperidinyl)-3-pyrrolidinyl]-3-(4-phenoxyphenyl)-,  
 (2Z)-2-butenedioate (1:3) (CA INDEX NAME)

CM 1

CRN 330789-14-5

CMF C27 H31 N7 O

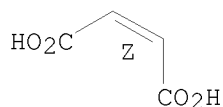


CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.



OS.CITING REF COUNT: 19 THERE ARE 19 CAPLUS RECORDS THAT CITE THIS  
RECORD (38 CITINGS)  
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1994:457512 CAPLUS

DOCUMENT NUMBER: 121:57512

ORIGINAL REFERENCE NO.: 121:10376h,10377a

TITLE: Preparation of  
7-substituted-6-fluoro-1,4-dihydro-4-oxo-quinoline-3-  
carboxylic acid compounds and related compounds as  
antibacterial agents

INVENTOR(S): Singh, Rajeshwar; Fathi-Afshar, Rakhshandeh; Singh,  
Inder Pal; Thomas, George; Doerksen, Thomas Roger;  
Singh, Maya Prakash; Micetich, Ronald George

PATENT ASSIGNEE(S): Synphar Laboratories, Inc., Can.

SOURCE: PCT Int. Appl., 26 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9324481	A1	19931209	WO 1993-CA231	19930531
W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP,				

KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD,  
 SE, SK, UA, US, VN  
 RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,  
 BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

US 5342846	A	19940830	US 1992-913505	19920714
AU 9343029	A	19931230	AU 1993-43029	19930531
JP 08501063	T	19960206	JP 1994-500050	19930531
JP 3396781	B2	20030414		

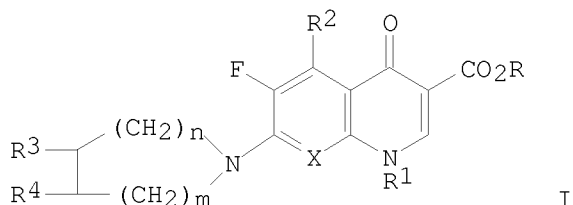
PRIORITY APPLN. INFO.:

US 1992-891262	A	19920601
US 1992-913505	A	19920714
US 1990-621716	B2	19901205
WO 1993-CA231	A	19930531

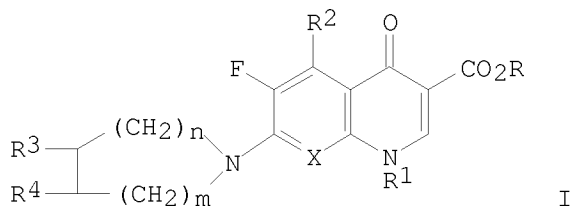
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 121:57512

GI



GI



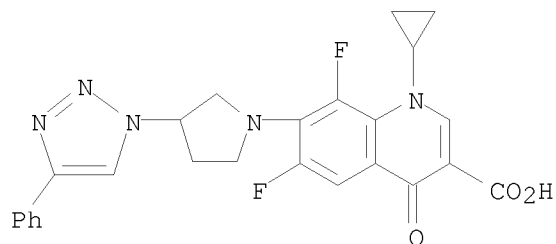
AB Title compds. I (R = H, C1-4 alkyl group; R1 (substituted) C3-C6 cycloalkyl, (substituted) Ph (substituted) C1-C4 alkyl; R2 = H, halo, C1-C4 alkyl, HO, H2N; R3 = H, HO, H2N; R4 = 1,2,3-, 1,2,4-triazol-1-yl, 1,2,3,4-tetrazol-1-yl, 1,2,3,4-tetrazol-2-yl, each of which may have 1 to 2 substituents; X = N, HC, FC, MeOC; m = 1,2; n = 0-2; etc.) or a pharmaceutical salt, are prepared Et 7-chloro-1-cyclopropyl-6-fluoro-1,4-dihydro-4-oxo-1,8-naphthyridine-3-carboxylate (preparation given) and cis-3-amino-4-(1,2,3-triazol-1-yl)pyrrolidine (preparation given) were reacted in pyridine to give I (R = Et, R1 = cyclopropyl, R2 = H, R3 = H2N, R4 = 1,2,3-triazol-1-yl, X = N, m = n = 1) which in test for antibacterial activity showed a min. inhibitory concentration of 0.008, 0.03, 0.25, 0.25, 2 µg/mL against Staphylococcus aureus, Escherichia coli, Enterobacter cloacae, Klebsiella pneumoniae and Pseudomonas aeruginosa, resp.

IT 143699-73-4P 143699-74-5P 143699-75-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of, as antibacterial)

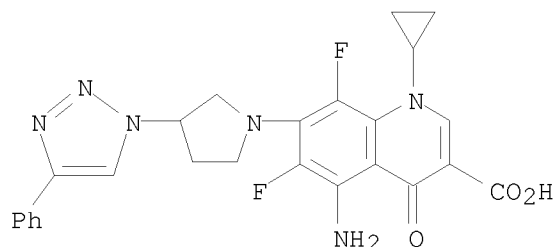
RN 143699-73-4 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6,8-difluoro-1,4-dihydro-4-oxo-7-[3-(4-phenyl-1H-1,2,3-triazol-1-yl)-1-pyrrolidinyl]- (CA INDEX NAME)



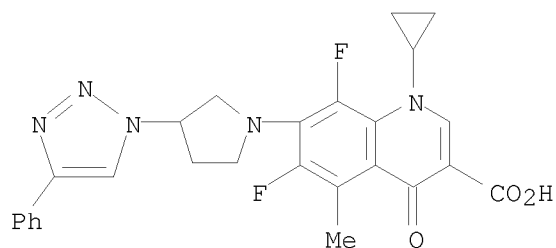
RN 143699-74-5 CAPLUS

CN 3-Quinolinecarboxylic acid, 5-amino-1-cyclopropyl-6,8-difluoro-1,4-dihydro-4-oxo-7-[3-(4-phenyl-1H-1,2,3-triazol-1-yl)-1-pyrrolidinyl]- (CA INDEX NAME)



RN 143699-75-6 CAPLUS

CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6,8-difluoro-1,4-dihydro-5-methyl-4-oxo-7-[3-(4-phenyl-1H-1,2,3-triazol-1-yl)-1-pyrrolidinyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L24 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1993:212902 CAPLUS

DOCUMENT NUMBER: 118:212902

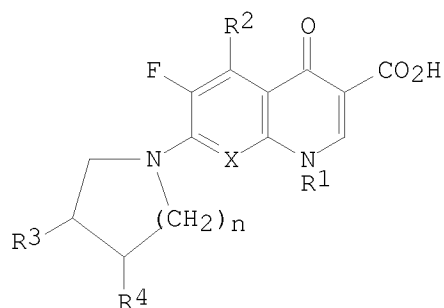
ORIGINAL REFERENCE NO.: 118:36695a,36698a

TITLE: Preparation of 7-heterocyclyl-6-fluoro-1,4-dihydro-4-oxo-quinoline-3-carboxylates and analogs as antibacterials

INVENTOR(S): Singh, Rajeshwar; Singh, Inder Pal; Thomas, George;

PATENT ASSIGNEE(S): Singh, Maya Prakash; Micetich, Ronald George;  
 SOURCE: Fahti-Afshar, Rakhshandeh; Doerksen, Thomas Roger  
 Synphar Laboratories, Inc., Can.  
 PCT Int. Appl., 65 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

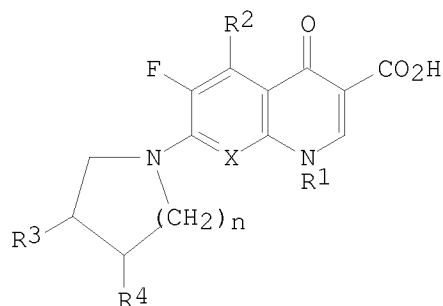
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9210492	A1	19920625	WO 1991-CA435	19911205
W: AT, AU, BB, BG, BR, CA, CH, CS, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MG, MN, MW, NL, NO, PL, RO, SD, SE, SU				
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, MC, ML, MR, NL, SE, SN, TD, TG				
CA 2099591	A1	19920606	CA 1991-2099591	19911205
CA 2099591	C	20021112		
AU 9190210	A	19920708	AU 1991-90210	19911205
AU 666296	B2	19960208		
ZA 9109601	A	19921028	ZA 1991-9601	19911205
EP 561850	A1	19930929	EP 1991-920890	19911205
EP 561850	B1	20000712		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL				
HU 64058	A2	19931129	HU 1993-1648	19911205
JP 06507149	T	19940811	JP 1991-500232	19911205
AT 194612	T	20000715	AT 1991-920890	19911205
NO 9302033	A	19930603	NO 1993-2033	19930603
NO 305479	B1	19990607		
PRIORITY APPLN. INFO.:			US 1990-621716	A 19901205
			WO 1991-CA435	A 19911205
OTHER SOURCE(S):			MARPAT 118:212902	
GI				



I

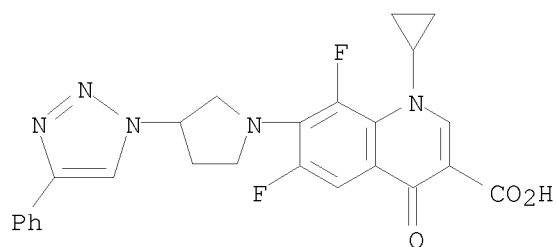
GI



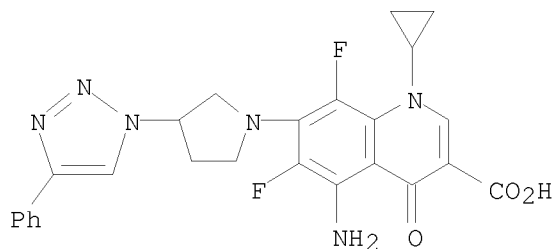


I

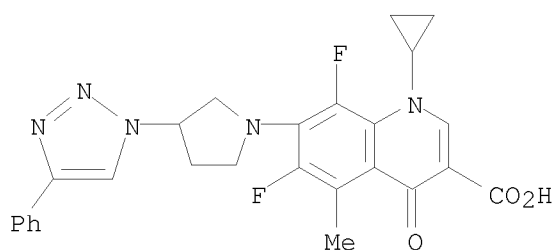
- AB Title compds. [I; R1 = C3-6 cycloalkyl, (substituted) Ph; R2 = H, halo, C1-4 alkyl, HO, H2N; R3 = H, HO, H2N; R4 = (substituted) triazol-1-yl or tetrazol-1-yl, etc.; X = N, HC, FC, MeOC; n = 0-2], are prepared Et 1-(4-fluorophenyl)-6,7,8-trifluoro-1,4-dihydro-4-oxoquinoline-3-carboxylate, 3-(1,2,3-triazol-1-yl)pyrrolidine.HCl (preparation given) and DBU were heated at 75° for 3 h to give Et 6,8-difluoro-1-(4-fluorophenyl)-7-[3-(1,2,3-triazol-1-yl)pyrrolin-1-yl]-1,4-dihydro-4-oxoquinoline-3-carboxylate which was heated in aqueous NaOH at 90° for 3.5 h to give I (R1 = 4-FC6H4, R2 = R3 = H, R4 = 1,2,3-triazol-1-yl, X = FC, n = 1) (II). II inhibited Staphylococcus aureus with a min. inhibitory concentration of ≤0.06 µg/mL.
- IT 143699-73-4P 143699-74-5P 143699-75-6P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of, as antibacterial)
- RN 143699-73-4 CAPLUS
- CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6,8-difluoro-1,4-dihydro-4-oxo-7-[3-(4-phenyl-1H-1,2,3-triazol-1-yl)-1-pyrrolidinyl]- (CA INDEX NAME)



- RN 143699-74-5 CAPLUS
- CN 3-Quinolinecarboxylic acid, 5-amino-1-cyclopropyl-6,8-difluoro-1,4-dihydro-4-oxo-7-[3-(4-phenyl-1H-1,2,3-triazol-1-yl)-1-pyrrolidinyl]- (CA INDEX NAME)



RN 143699-75-6 CAPLUS  
 CN 3-Quinolinecarboxylic acid, 1-cyclopropyl-6,8-difluoro-1,4-dihydro-5-methyl-4-oxo-7-[3-(4-phenyl-1H-1,2,3-triazol-1-yl)-1-pyrrolidinyl]- (CA INDEX NAME)



OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)  
 REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> logoff hold

(FILE 'HOME' ENTERED AT 06:35:56 ON 19 JAN 2010)

FILE 'REGISTRY' ENTERED AT 06:36:21 ON 19 JAN 2010

L1 2502089 SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON 16.136.1/RID  
 L2 STRUCTURE UPLOADED  
 L3 0 SEA FILE=REGISTRY SUB=L1 SSS SAM L2  
 L4 STRUCTURE UPLOADED  
 D  
 L5 50 SEA FILE=REGISTRY SUB=L1 SSS SAM L4

FILE 'CAPLUS' ENTERED AT 06:38:41 ON 19 JAN 2010

E US20070185100/PN  
 L6 1 SEA FILE=CAPLUS SPE=ON ABB=ON PLU=ON US20070185100/PN  
 SEL RN

FILE 'REGISTRY' ENTERED AT 06:39:03 ON 19 JAN 2010

L7 146 SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON (1032919-11-1/BI OR 117625-90-8/BI OR 120-47-8/BI OR 126767-63-3/BI OR 128019-59-0/BI OR 1452-63-7/BI OR 153749-89-4/BI OR 157634-00-9/BI OR 157634-02-1/BI OR 15855-06-8/BI OR 16308-17-1/BI OR 18153-53-2/BI OR 183742-23-6/BI OR 19353-92-5/BI OR 19353-97-0/BI OR 19353-99-2/BI OR 212650-43-6/BI OR 212650-45-8/BI OR 22179-77-7/BI OR 22620-29-7/BI OR 2417-72-3/BI OR 244022-63-7/BI OR 25462-85-5/BI OR 25773-00-6/BI OR 28920-43-6/BI OR 3290-99-1/BI OR 3433-37-2/BI OR 3758-59-6/BI OR 388077-74-5/BI OR 453565-59)

-8/BI OR 4584-46-7/BI OR 4837-20-1/BI OR 518047-39-7/BI OR  
 518047-40-0/BI OR 518058-62-3/BI OR 535-80-8/BI OR 54-85-3/BI  
 OR 553-53-7/BI OR 56601-42-4/BI OR 61832-07-3/BI OR 63503-60-6/  
 BI OR 661459-30-9/BI OR 701-40-6/BI OR 766-83-6/BI OR 77873-76-  
 8/BI OR 833474-06-9/BI OR 863646-40-6/BI OR 863646-41-7/BI OR  
 863646-42-8/BI OR 863646-43-9/BI OR 863646-44-0/BI OR 863646-45-  
 -1/BI OR 863646-46-2/BI OR 863646-47-3/BI OR 863646-48-4/BI OR  
 863646-49-5/BI OR 863646-50-8/BI OR 863646-51-9/BI OR 863646-52-  
 -0/BI OR 863646-53-1/BI OR 863646-54-2/BI OR 863646-55-3/BI OR  
 863646-56-4/BI OR 863646-57-5/BI OR 863646-58-6/BI OR 863646-59-  
 -7/BI OR 863646-60-0/BI OR 863646-61-1/BI OR 863646-62-2/BI OR  
 863646-63-3/BI OR 863646-64-4/BI OR 863646-65-5/BI OR 863646-66-  
 -6/BI OR 863646-67-7/BI OR 863646-68-8/BI OR 863646-69-9/BI OR  
 863646-70-2/BI OR 863646-71-3/BI OR 863646-72-4/BI OR 863646-73-  
 -5/BI OR 863646-74-6/BI OR 863646-75-7/BI OR 863646-76-8/BI OR  
 863646-77-9/BI OR 863646-78-0/BI OR 863646-79-1/BI OR 863646-80-  
 -4/BI OR 863646-81-5/BI OR 863646-82-6/BI OR 863646-83-7/BI OR  
 863646-84-8/BI OR 863646-85-9/BI OR 863646-86-0/BI OR 863646-87-  
 -1/BI OR 863646-88-2/BI OR 863646-89-3/BI OR 863646-90-6/BI OR  
 863646-91-7/BI OR 863646-92-8/BI OR 863646-93-9/BI OR 863646-94-  
 -0/BI OR 863646-95-1/BI

L8 13 SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON L7 AND 16.136.1/RID  
 D L8 1-13  
 L9 STRUCTURE UPLOADED  
 D  
 L10 39 SEA FILE=REGISTRY SUB=L1 SSS SAM L9  
 L11 53735 SEA FILE=REGISTRY SUB=L1 SSS FUL L9  
 L12 STRUCTURE UPLOADED  
 D  
 L13 3 SEA FILE=REGISTRY SUB=L11 SSS SAM L12  
 D SCAN  
 L14 478 SEA FILE=REGISTRY SUB=L11 SSS FUL L12  
 L15 472 SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON L14 AND CAPLUS/LC  
 L16 6 SEA FILE=REGISTRY SPE=ON ABB=ON PLU=ON L14 NOT L15  
 D L16 1-6

FILE 'CAPLUS' ENTERED AT 06:55:13 ON 19 JAN 2010

L17 20 SEA FILE=CAPLUS SPE=ON ABB=ON PLU=ON L15  
 D L17 IBIB GI ABS HITSTR 1-20

FILE 'REGISTRY' ENTERED AT 07:17:59 ON 19 JAN 2010

L18 STRUCTURE UPLOADED  
 D  
 L19 39 SEA FILE=REGISTRY SUB=L1 SSS SAM L18  
 L20 75785 SEA FILE=REGISTRY SUB=L1 SSS FUL L18  
 L21 STRUCTURE UPLOADED  
 D  
 L22 19 SEA FILE=REGISTRY SUB=L20 SSS SAM L21  
 L23 318 SEA FILE=REGISTRY SUB=L20 SSS FUL L21

FILE 'CAPLUS' ENTERED AT 07:19:44 ON 19 JAN 2010

L24 9 SEA FILE=CAPLUS SPE=ON ABB=ON PLU=ON L23/USES  
 D L24 IBIB GI ABS HITSTR 1-9

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	55.60	711.31
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-7.65	-24.65

SESSION WILL BE HELD FOR 120 MINUTES

STN INTERNATIONAL SESSION SUSPENDED AT 07:21:06 ON 19 JAN 2010